

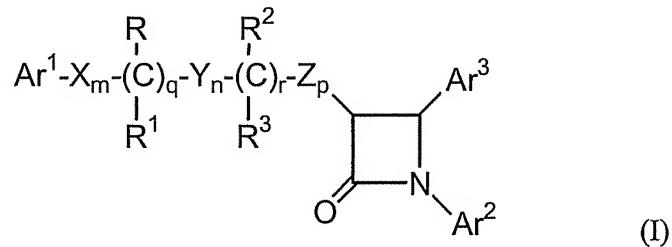
AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims

1. (Currently Amended) A method of treating ~~or preventing~~ demyelination in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof, wherein the at least one sterol absorption inhibitor is selected from the group consisting of ~~sterol absorption inhibitors represented by the following Formulae:~~

(a) — Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴ - substituted aryl;

Ar³ is aryl or R⁵ -substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

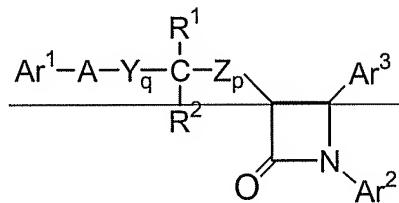
R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, -(lower alkylene)COOR⁶, $-CH=CH-COOR^6$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, -(lower alkylene)COOR⁶ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl;

(b) Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar^1 is R^3 -substituted aryl;

Ar^2 is R^4 -substituted aryl;

Ar^3 is R^5 -substituted aryl;

Y and Z are independently selected from the group consisting of CH_2 -,

$-\text{CH}(\text{lower alkyl})$ and $-\text{C}(\text{dilower alkyl})$;

A is selected from O , S , S(O) or S(O)_2 ;

R^1 is selected from the group consisting of OR^6 , O(CO)R^6 , O(CO)OR^9 and $\text{O(CO)NR}^6\text{R}^7$; R^2 is selected from the group consisting of hydrogen, lower alkyl and aryl; or R^1 and R^2 together are $=\text{O}$;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

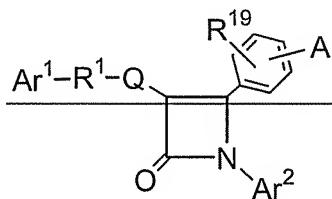
R^5 is 1-3 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O(CO)R}^6$, $-\text{O(CO)OR}^9$, $-\text{O(CH}_2\text{)}_{1-5}\text{OR}^9$, $-\text{O(CO)NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{lower alkyl}$, $-\text{NR}^6\text{SO}_2\text{aryl}$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $-\text{S(O)}_{0-2}\text{alkyl}$, $-\text{S(O)}_{0-2}\text{aryl}$, $-\text{O(CH}_2\text{)}_{1-10}\text{COOR}^6$, $-\text{O(CH}_2\text{)}_{1-10}\text{CONR}^6\text{R}^7$, o-halogeno, m-halogeno, p-lower alkyl, m-lower alkyl, (lower alkylene)-COOR⁶, and $-\text{CH}=\text{CH-COOR}^6$;

R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, NO_2 , CF_3 and p-halogeno;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl substituted lower alkyl;

(c) Formula (IV):



-(IV)

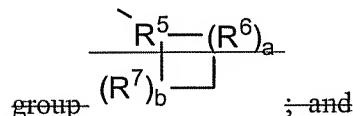
or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

— A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar¹ is aryl or R^3 -substituted aryl;

Ar² is aryl or R^4 -substituted aryl;

— Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the spiro



R^1 is selected from the group consisting of:

— $(CH_2)_q$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

— $(CH_2)_e G (CH_2)_f$, wherein G is O, C(O), phenylene, NR^8 or $-S(O)_{0-2}$, e is 0-5 and f is 0-5, provided that the sum of e and f is 1-6;

— $(C_2-C_6$ alkenylene); and

— $(CH_2)_f V (CH_2)_g$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

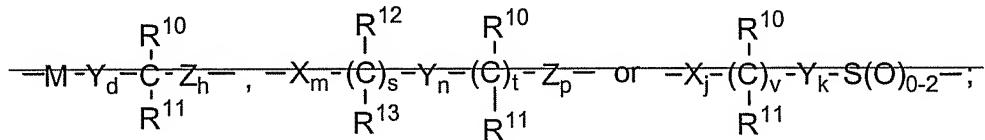
R^5 is selected from:



R^6 and R^7 are independently selected from the group consisting of $-CH_2$, $CH(C_4-C_6 \text{ alkyl})$, $C(\text{di } (C_4-C_6 \text{ alkyl}))$, $CH=CH$ and $-C(C_4-C_6 \text{ alkyl})=CH$; or R^5 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a $CH=CH$ or a $CH=C(C_4-C_6 \text{ alkyl})$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $CH=CH$ or $C(C_4-C_6 \text{ alkyl})=CH$, a is 1; provided that when R^7 is

~~-CH=CH-~~ or ~~C(C₄-C₆-alkyl)=CH-~~, ~~b~~ is 1; provided that when ~~a~~ is 2 or 3, the ~~R~~⁶'s can be the same or different; and provided that when ~~b~~ is 2 or 3, the ~~R~~⁷'s can be the same or different; and when ~~Q~~ is a bond, ~~R~~⁺ also can be selected from:

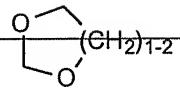


~~where M is O, S, S(O) or S(O)₂;~~

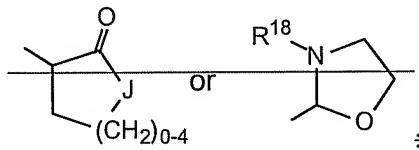
~~X, Y and Z are independently selected from the group consisting of~~
~~-CH₂, -CH(C₄-C₆-alkyl) and -C(di(C₄-C₆-alkyl);~~
~~R¹⁰ and R¹² are independently selected from the group consisting of~~
~~-OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;~~
~~R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₄-C₆)alkyl and aryl; or R¹⁰ and R¹² together are =O, or R¹² and R¹³ together are =O;~~

~~d is 1, 2 or 3;~~
~~h is 0, 1, 2, 3 or 4;~~
~~s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;~~

~~v is 0 or 1;~~
~~j and k are independently 1-5, provided that the sum of j, k and v is 1-5;~~
~~R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of~~
~~hydrogen, (C₄-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl,~~
~~(C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl,~~
~~R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, NR¹⁴R¹⁵,~~
~~NR¹⁴R¹⁵(C₄-C₆-alkylene), NR¹⁴R¹⁵C(O)(C₄-C₆-alkylene), NHC(O)R¹⁶,~~
~~OH, C₄-C₆-alkoxy, OC(O)R¹⁶, COR¹⁴, hydroxy(C₄-C₆)alkyl, (C₄-C₆)alkoxy(C₄-C₆)alkyl,~~
~~NO₂, S(O)₀₋₂R¹⁶, SO₂NR¹⁴R¹⁵ and (C₄-C₆-alkylene)COOR¹⁴;~~ when R² is a substituent on a

heterocycloalkyl ring, R^2 is as defined, or is $=O$ or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen,

(C_4-C_6) alkyl, aryl, (C_4-C_6) alkoxy, aryloxy, (C_4-C_6) alkylcarbonyl, arylcarbonyl, hydroxy, $-(CH_2)_{4-6}CONR^{18}R^{18}$,



wherein J is O , NH , NR^{18} or CH_2 ;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_4-C_6) alkyl,

OR^{14} , $O(CO)R^{14}$, $O(CO)OR^{16}$, $O(CH_2)_{1-5}OR^{14}$, $O(CO)NR^{14}R^{15}$, $NR^{14}R^{15}$, $NR^{14}(CO)R^{15}$, $NR^{14}(CO)OR^{16}$, $NR^{14}(CO)NR^{15}R^{19}$, $NR^{14}SO_2R^{16}$, $COOR^{14}$, $CONR^{14}R^{15}$, COR^{14} , $SO_2NR^{14}R^{15}$, $S(O)_{0-2}R^{16}$, $O(CH_2)_{1-10}COOR^{14}$, $O(CH_2)_{1-10}CONR^{14}R^{15}$, (C_4-C_6) alkylene $COOR^{14}$, $CH=CHCOOR^{14}$, CF_3 , CN , NO_2 and halogen;

R^8 is hydrogen, (C_4-C_6) alkyl, aryl (C_4-C_6) alkyl, $C(O)R^{14}$ or $COOR^{14}$;

R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_4-C_6) alkyl, (C_4-C_6) alkoxy, $COOH$, NO_2 ,

$NR^{14}R^{15}$, OH and halogen;

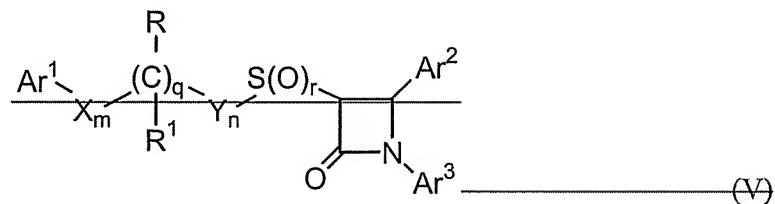
R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_4-C_6) alkyl, aryl and aryl substituted (C_4-C_6) alkyl;

R^{16} is (C_4-C_6) alkyl, aryl or R^{17} substituted aryl;

R^{18} is hydrogen or (C_4-C_6) alkyl; and

R^{19} is hydrogen, hydroxy or (C_4-C_6) alkoxy;

(d) Formula (V):



or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 substituted aryl;

Ar^3 is aryl or R^5 substituted aryl;

X and Y are independently selected from the group consisting of CH_2 ,
 $-\text{CH}(\text{lower alkyl})$ and $\text{C}(\text{dilower alkyl})$;

R is OR^6 , $\text{O}(\text{CO})\text{R}^6$, $\text{O}(\text{CO})\text{OR}^9$ or $\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^4 is hydrogen, lower alkyl or aryl; or R and R^4 together are $=\text{O}$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m , n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, OR^6 , $\text{O}(\text{CO})\text{R}^6$, $\text{O}(\text{CO})\text{OR}^9$, $\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $\text{O}(\text{CO})\text{NR}^6\text{R}^7$, NR^6R^7 , $\text{NR}^6(\text{CO})\text{R}^7$, $\text{NR}^6(\text{CO})\text{OR}^9$, $\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $\text{NR}^6\text{SO}_2\text{R}^9$, COOR^6 , CONR^6R^7 , COR^6 , $\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $\text{O}(\text{CH}_2)_{4-10}\text{COOR}^6$, $\text{O}(\text{CH}_2)_{4-10}\text{CONR}^6\text{R}^7$, (lower alkylene) COOR^6 and $\text{CH}=\text{CH COOR}^6$;

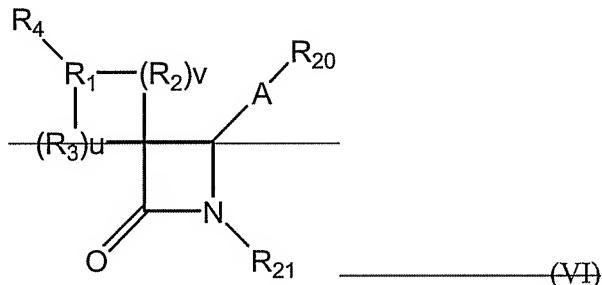
R^5 is 1-5 substituents independently selected from the group consisting of OR^6 , $\text{O}(\text{CO})\text{R}^6$, $\text{O}(\text{CO})\text{OR}^9$, $\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $\text{O}(\text{CO})\text{NR}^6\text{R}^7$, NR^6R^7 , $\text{NR}^6(\text{CO})\text{R}^7$, $\text{NR}^6(\text{CO})\text{OR}^9$, $\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $\text{NR}^6\text{SO}_2\text{R}^9$, COOR^6 , CONR^6R^7 , COR^6 , $\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $\text{O}(\text{CH}_2)_{4-10}\text{COOR}^6$, $\text{O}(\text{CH}_2)_{4-10}\text{CONR}^6\text{R}^7$, CF_3 , CN , NO_2 , halogen, (lower alkylene) COOR^6 and $\text{CH}=\text{CH COOR}^6$;

~~R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl substituted lower alkyl;~~

~~R⁹ is lower alkyl, aryl or aryl substituted lower alkyl; and~~

~~R¹⁰ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₄₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₄₋₁₀-COOR⁶, -O(CH₂)₄₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂ and halogen;~~

(e) Formula (VI):



or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R₁ is

~~-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C₆H₅)-, -C(C₆H₄-R₁₅)-,~~
~~-N+ or NO^{-},}~~

R₂ and R₃ are independently selected from the group consisting of:

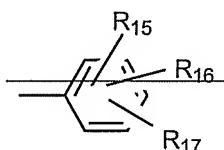
~~-CH₂, -CH(lower alkyl), -C(di lower alkyl), -CH=CH and -C(lower alkyl)=CH; or~~
 R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
 -CH=CH or a -CH=C(lower alkyl) group;

~~u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is -CH=CH or -C(lower alkyl)=CH, v is 1; provided that when R₃ is -CH=CH or -C(lower alkyl)=CH, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;~~

~~R₄ is selected from B-(CH₂)_mC(O), wherein m is 0, 1, 2, 3, 4 or 5;~~
~~B-(CH₂)_q, wherein q is 0, 1, 2, 3, 4, 5 or 6;~~
~~B-(CH₂)_eZ-(CH₂)_r, wherein Z is O, C(O), phenylene, N(R₈) or S(O)₀₋₂, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;~~
~~B-(C₂-C₆-alkenylene);~~
~~B-(C₄-C₆-alkadienylene);~~
~~B-(CH₂)_tZ-(C₂-C₆-alkenylene), wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;~~
~~B-(CH₂)_fV-(CH₂)_g, wherein V is C₃-C₆-cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;~~
~~B-(CH₂)_tV-(C₂-C₆-alkenylene) or~~
~~B-(C₂-C₆-alkenylene)V-(CH₂)_t, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;~~
~~B-(CH₂)_aZ-(CH₂)_bV-(CH₂)_d, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or~~
~~T-(CH₂)_s, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or~~

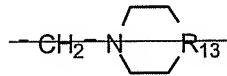
~~R₁ and R₄ together form the group $\overline{B-CH=C-}$;~~

~~B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or~~



~~W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxy carbonylalkoxy, (lower alkoxyimino) lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyl oxy,~~

~~R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, N(R₈)(R₉), N(R₈)(R₉)-lower alkylene, N(R₈)(R₉)-lower alkyleneoxy, OH, halogeno, CN, N₃, NHC(O)OR₁₀, NHC(O)R₁₀, R₁₁O₂SNH, (R₁₁O₂S)₂N, S(O)₂NH₂, S(O)O₂R₈, tert-butyldimethylsilyloxymethyl, C(O)R₁₂, COOR₁₉, CON(R₈)(R₉), CH=CHC(O)R₁₂, lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy), N(R₈)(R₉)C(O)(lower alkyleneoxy) and~~



for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, C(O)OR₁₀, C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene, N(R₈)(R₉)-lower alkyleneoxy, S(O)₂NH₂ and 2-(trimethylsilyl)ethoxymethyl;

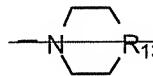
R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, COOH, NO₂, N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

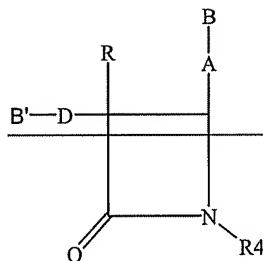
R₁₃ is selected from O, CH₂, NH, N(lower alkyl) or NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

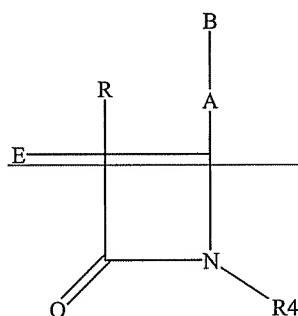
R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

(f) ~~Formula (VIIA) or (VII B):~~



(VIIA)

or

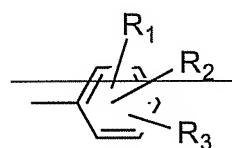


(VII B)

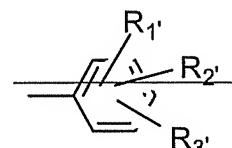
or a pharmaceutically acceptable salt or solvate thereof,
wherein:

A is $\text{CH}=\text{CH}$, $-\text{C}\equiv\text{C}-$ or $(\text{CH}_2)_p$ wherein p is 0, 1 or 2;

B is



B' is



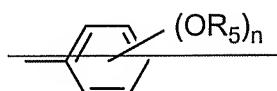
D is $(\text{CH}_2)_m\text{C}(\text{O})$ or $(\text{CH}_2)_q$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or C(O) (C₉ to C₁₉) alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

~~R~~ is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH₂)_r, wherein ~~r~~ is 0, 1, 2, or 3;

~~R~~₁, ~~R~~₂, ~~R~~₃, ~~R~~₁¹, ~~R~~₂¹, and ~~R~~₃¹ are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, NHC(O)OR₅, R₆O₂SNH and S(O)₂NH₂;

~~R~~₄ is

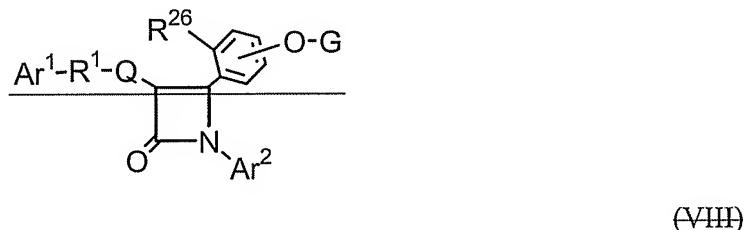


wherein ~~n~~ is 0, 1, 2 or 3;

~~R~~₅ is lower alkyl; and

~~R~~₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino;

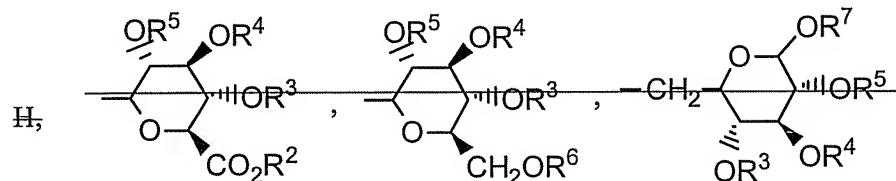
(g) Formula (VIII):

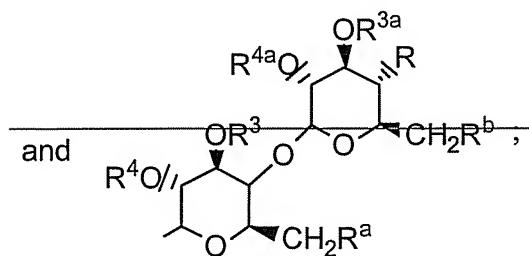


or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

~~R~~²⁶ is H or OG¹;

~~G~~ and ~~G~~¹ are independently selected from the group consisting of





provided that when R^{26} is H or

OH , G is not H;

R , R^a and R^b are independently selected from the group consisting of H, OH,

halogeno, NH_2 , azido, $(\text{C}_1\text{--C}_6)$ alkoxy $(\text{C}_1\text{--C}_6)$ alkoxy or $W\text{--}R^{30}$;

W is independently selected from the group consisting of NH--C(O) , O--C(O) , $\text{O--C(O--N(R^{31}))}$, $\text{NH--C(O--N(R^{31}))}$ and $\text{O--C(S--N(R^{31}))}$;

R^2 and R^6 are independently selected from the group consisting of H, $(\text{C}_1\text{--C}_6)$ alkyl, aryl and aryl $(\text{C}_1\text{--C}_6)$ alkyl;

R^3 , R^4 , R^5 , R^7 , R^{3a} and R^{4a} are independently selected from the group consisting of H, $(\text{C}_1\text{--C}_6)$ alkyl, aryl $(\text{C}_1\text{--C}_6)$ alkyl, $\text{C(O)(C}_1\text{--C}_6)$ alkyl and C(O)aryl ;

R^{30} is selected from the group consisting of R^{32} -substituted T, R^{32} -substituted T $(\text{C}_1\text{--C}_6)$ alkyl, R^{32} -substituted $(\text{C}_2\text{--C}_4)$ alkenyl, R^{32} -substituted $(\text{C}_1\text{--C}_6)$ alkyl, R^{32} -substituted $(\text{C}_3\text{--C}_7)$ cycloalkyl and R^{32} -substituted $(\text{C}_3\text{--C}_7)$ cycloalkyl $(\text{C}_1\text{--C}_6)$ alkyl;

R^{31} is selected from the group consisting of H and $(\text{C}_1\text{--C}_4)$ alkyl;

T is selected from the group consisting of phenyl, furyl, thiienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

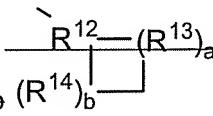
R^{32} is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, $(\text{C}_1\text{--C}_4)$ alkyl, OH, phenoxy, CF_3 , NO_2 , $(\text{C}_1\text{--C}_4)$ alkoxy, methylenedioxy, o xo, $(\text{C}_1\text{--C}_4)$ alkylsulfanyl, $(\text{C}_1\text{--C}_4)$ alkylsulfinyl, $(\text{C}_1\text{--C}_4)$ alkylsulfonyl, $\text{N}(\text{CH}_3)_2$, $\text{C(O--NH(C}_1\text{--C}_4)\text{alkyl}}$,

~~-C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;~~

~~Ar¹ is aryl or R¹⁰-substituted aryl;~~

~~Ar² is aryl or R¹¹-substituted aryl;~~

~~Q is a bond or, with the 3-position ring carbon of the azetidinone,~~

~~forms the spiro group~~  ; and

~~R¹ is selected from the group consisting of~~

~~(CH₂)_q, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;~~

~~(CH₂)_e-E-(CH₂)_r, wherein E is O, C(O), phenylene, NR²² or S(O)₀₋₂, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;~~

~~(C₂-C₆)alkenylene; and~~

~~(CH₂)_f-V-(CH₂)_g, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;~~

~~R¹² is~~

~~-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R²³)-, -N-, or -⁺NO-;~~

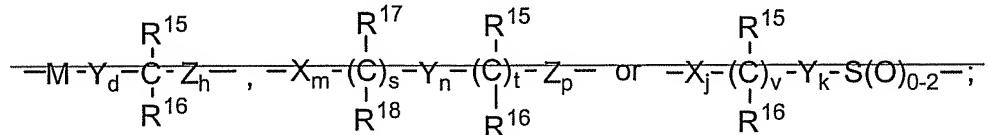
~~R¹³ and R¹⁴ are independently selected from the group consisting of CH₂, -CH(C₁-C₆ alkyl), C(di(C₁-C₆)alkyl), CH=CH and -C(C₁-C₆ alkyl)=CH; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a CH=CH or a CH=C(C₁-C₆ alkyl) group;~~

~~a and b are independently 0, 1, 2 or 3, provided both are not zero;~~

~~provided that when R¹³ is CH=CH or C(C₁-C₆ alkyl)=CH, a is 1;~~

~~provided that when R¹⁴ is CH=CH or C(C₁-C₆ alkyl)=CH, b is 1;~~

provided that when a is 2 or 3, the R¹³'s can be the same or different; and
provided that when b is 2 or 3, the R¹⁴'s can be the same or different;
and when Q is a bond, R¹ also can be:



M is O, S, S(O) or S(O)2;

X, Y and Z are independently selected from the group consisting of CH₂,
CH(C₁-C₆)alkyl and C(di(C₁-C₆)alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents
independently selected from the group consisting of

(C₁-C₆)alkyl, OR¹⁹, O(CO)R¹⁹, O(CO)OR²¹, O(CH₂)₁₋₅OR¹⁹,
O(CO)NR¹⁹R²⁰, NR¹⁹R²⁰, NR¹⁹(CO)R²⁰, NR¹⁹(CO)OR²¹,
NR¹⁹(CO)NR²⁰R²⁵, NR¹⁹SO₂R²¹, COOR¹⁹, CONR¹⁹R²⁰, COR¹⁹,
SO₂NR¹⁹R²⁰, S(O)O₂R²¹, O(CH₂)₁₋₁₀COOR¹⁹, O(CH₂)₁₋₁₀CONR¹⁹R²⁰, (C₁-C₆-alkylene)-COOR¹⁹, CH=CH-COOR¹⁹, CF₃, CN, NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of OR¹⁹,
O(CO)R¹⁹, O(CO)OR²¹ and O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H,
(C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that
when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

$$\begin{array}{c} R^{15} \\ | \\ -X_j-(C)_v-Y_k-S(O)_{0-2}- \\ | \\ R^{16} \end{array}$$

 and when Q is a bond and R^1 is Ar^1 can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thieryl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R^{19} and R^{20} are independently selected from the group consisting of H, (C_1-C_6) alkyl, aryl and aryl substituted (C_1-C_6) alkyl;

R^{21} is (C_1-C_6) alkyl, aryl or R^{24} substituted aryl;

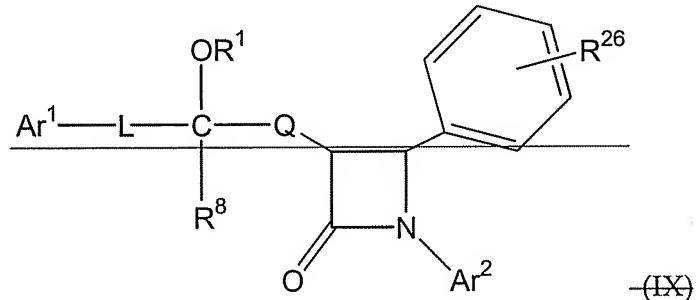
R^{22} is H, (C_1-C_6) alkyl, aryl (C_1-C_6) alkyl, $C(O)R^{19}$ or COOR^{19} ;

R^{23} and R^{24} are independently 1-3 groups independently selected from the group consisting of H, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, COOH , NO_2 ,

$\text{NR}^{19}R^{20}$, OH and halogeno; and

R^{25} is H, OH or (C_1-C_6) alkoxy; and

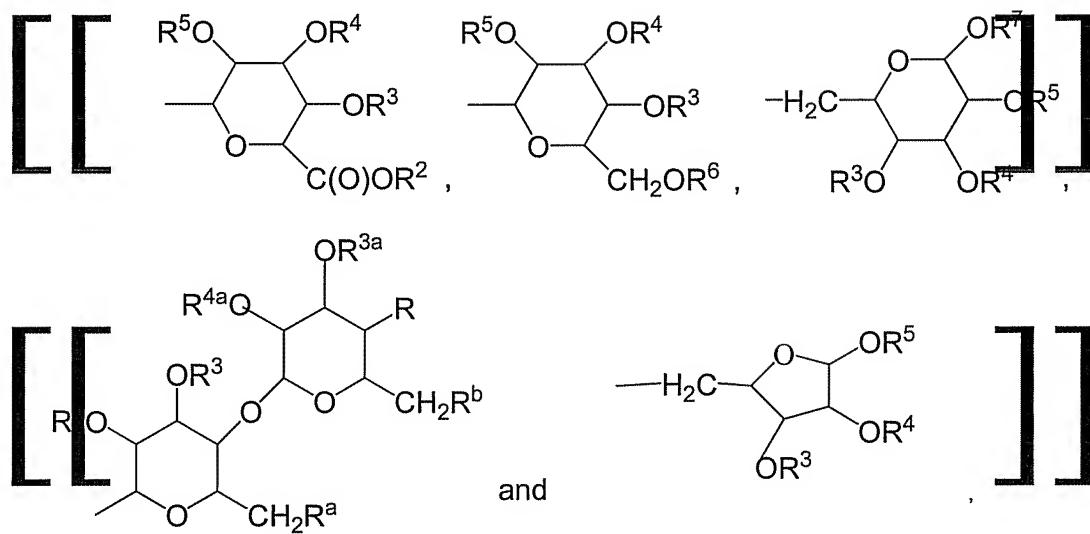
(h) Formula (IX):



or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R^1 is selected from the group consisting of H, G, G^+ , G^2 , SO_3H and PO_3H ;

G is selected from the group consisting of: H,



wherein R , R^a and R^b are each independently selected from the group consisting of H , OH , halo , NH_2 , azido , $(\text{C}_1\text{--C}_6)\text{alkoxy}(\text{C}_1\text{--C}_6)\text{alkoxy}$ or W R^{30} ;

W is independently selected from the group consisting of NH C(O) , O C(O) , $\text{O C(O) N(R}^{31})$, $\text{NH C(O) N(R}^{31})$ and $\text{O C(S) N(R}^{31})$;

R^2 and R^6 are each independently selected from the group consisting of H , $(\text{C}_1\text{--C}_6)\text{alkyl}$, acetyl , aryl and $\text{aryl}(\text{C}_1\text{--C}_6)\text{alkyl}$;

R^3 , R^4 , R^5 , R^7 , R^{3a} and R^{4a} are each independently selected from the group consisting of H , $(\text{C}_1\text{--C}_6)\text{alkyl}$, acetyl , $\text{aryl}(\text{C}_1\text{--C}_6)\text{alkyl}$, $\text{C(O)(C}_1\text{--C}_6)\text{alkyl}$ and C(O)aryl ;

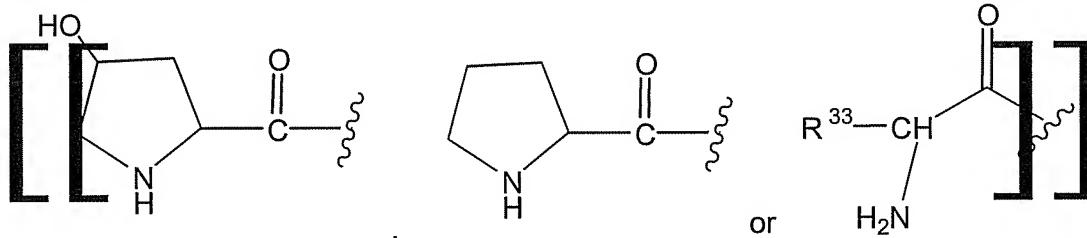
R^{30} is independently selected from the group consisting of R^{32} -substituted T , R^{32} -substituted $\text{T}(\text{C}_1\text{--C}_6)\text{alkyl}$, R^{32} -substituted $(\text{C}_2\text{--C}_4)\text{alkenyl}$, R^{32} -substituted $(\text{C}_1\text{--C}_6)\text{alkyl}$, R^{32} -substituted $(\text{C}_3\text{--C}_7)\text{cycloalkyl}$ and R^{32} -substituted $(\text{C}_3\text{--C}_7)\text{cycloalkyl}(\text{C}_1\text{--C}_6)\text{alkyl}$;

— R^{31} is independently selected from the group consisting of H and (C₁-C₄)alkyl;

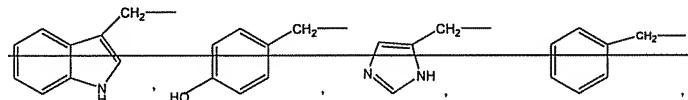
— T is independently selected from the group consisting of phenyl, furyl, thiienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

— R^{32} is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, OH, phenoxy, CF₃, NO₂, (C₁-C₄)alkoxy, methylenedioxy, o xo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, N(CH₃)₂, C(O)-NH(C₁-C₄)alkyl, C(O)-N((C₁-C₄)alkyl)₂, C(O)-(C₁-C₄)alkyl, C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R^{32} is a covalent bond and R^{31} , the nitrogen to which it is attached and R^{32} form a pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

— G^1 is represented by the structure:



wherein R³³ is independently selected from the group consisting of unsubstituted alkyl, R³⁴-substituted alkyl, (R³⁵)(R³⁶)alkyl,

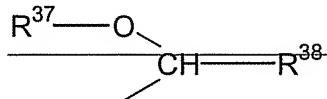


R³⁴ is one to three substituents, each R³⁴ being independently selected from the group consisting of HOOC-, HO-, HS-, (CH₃)S-, H₂N-, (NH₂)(NH)C(NH)-, (NH₂)C(O)- and HOOCH(NH₃⁺)CH₂SS-;

~~R³⁵ is independently selected from the group consisting of H and NH₂;~~

~~R³⁶ is independently selected from the group consisting of H, unsubstituted alkyl, R³⁴-substituted alkyl, unsubstituted cycloalkyl and R³⁴-substituted cycloalkyl;~~

G^2 is represented by the structure:



~~wherein R³⁷ and R³⁸ are each independently selected from the group consisting of (C₁-C₆)alkyl and aryl;~~

~~R²⁶ is one to five substituents, each R²⁶ being independently selected from the group consisting of:~~

- a) H;
- b) OH;
- c) OCH₃;
- d) fluorine;
- e) chlorine;
- f) O G;
- g) O G¹;
- h) O G²;
- i) SO₃H; and
- j) PO₃H;

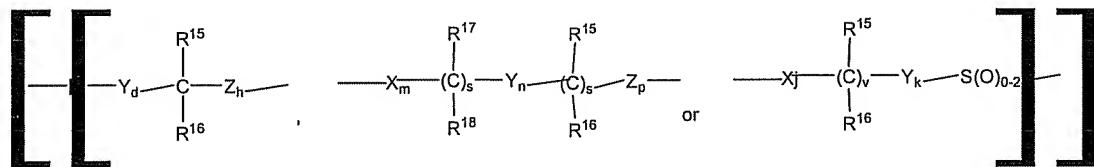
~~provided that when R¹ is H, R²⁶ is not H, OH, OCH₃ or O G;~~

~~Ar¹ is aryl, R¹⁰-substituted aryl, heteroaryl or R¹⁰-substituted heteroaryl;~~

~~Ar² is aryl, R¹¹-substituted aryl, heteroaryl or R¹¹-substituted heteroaryl;~~

~~L is selected from the group consisting of:~~
K80679.DOC

- a) — a covalent bond;
- b) — $(CH_2)_q$, wherein q is 1-6;
- c) — $(CH_2)_e E (CH_2)_r$, wherein E is O, C(O), phenylene, NR²² or S(O)₀₋₂, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) — (C₂-C₆)alkenylene;
- e) — $(CH_2)_f V (CH_2)_g$, wherein V is C₃-C₆cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f) —



wherein M is O, S, S(O) or S(O)₂;

X, Y and Z are each independently selected from the group consisting of —CH₂, —CH(C₁-C₆)alkyl and —C(di(C₁-C₆)alkyl);

R⁹ is selected from the group consisting of H and alkyl;

R¹⁰ and R¹¹ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C₁-C₆)alkyl, OR¹⁹, O(CO)R¹⁹, O(CO)OR²¹, O(CH₂)₁₋₅OR¹⁹, O(CO)NR¹⁹R²⁰, NR¹⁹R²⁰, NR¹⁹(CO)R²⁰, NR¹⁹(CO)OR²¹, NR¹⁹(CO)NR²⁰R²⁵, NR¹⁹SO₂R²¹, COOR¹⁹, CONR¹⁹R²⁰, COR¹⁹, SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, O(CH₂)₁₋₁₀COOR¹⁹, O(CH₂)₁₋₁₀CONR¹⁹R²⁰, (C₁-C₆alkylene)COOR¹⁹, CH=CH COOR¹⁹, CF₃, CN, NO₂ and halo;

R¹⁵ and R¹⁷ are each independently selected from the group consisting of

Application No. 10/701,244
Paper Dated: October 26, 2007
In Reply to Office Action of July 27, 2007
Attorney Docket No. CV01679 (4686-050103)

~~-OR¹⁹, -OC(O)R¹⁹, -OC(O)OR²¹, -OC(O)NR¹⁹R²⁰;~~

~~R¹⁶ and R¹⁸ are each independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl;~~

~~or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;~~

~~d is 1, 2 or 3;~~

~~h is 0, 1, 2, 3 or 4;~~

~~s is 0 or 1;~~

~~t is 0 or 1;~~

~~m, n and p are each independently selected from 0-4;~~

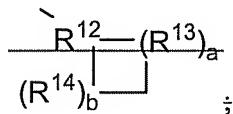
~~provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;~~

~~provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;~~

~~v is 0 or 1;~~

~~j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;~~

~~Q is a bond, (CH₂)_q, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group~~



~~wherein R¹² is~~

~~-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R²³)-, -N-, or -⁺NO⁻;~~

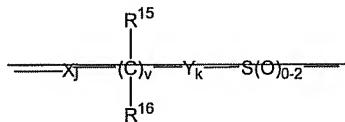
~~R¹³ and R¹⁴ are each independently selected from the group consisting of~~

Application No. 10/701,244
Paper Dated: October 26, 2007
In Reply to Office Action of July 27, 2007
Attorney Docket No. CV01679 (4686-050103)

~~-CH₂-, CH(C₁-C₆ alkyl), C(di (C₁-C₆) alkyl), CH=CH and C(C₁-C₆ alkyl)=CH;~~ or
~~R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a CH=CH or
a CH=C(C₁-C₆ alkyl) group;~~

~~— a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that
when R¹³ is CH=CH or C(C₁-C₆ alkyl)=CH, a is 1; provided that when R¹⁴ is
CH=CH or C(C₁-C₆ alkyl)=CH, b is 1; provided that when a is 2 or 3, the R¹³'s can be
the same or different; and provided that when b is 2 or 3, the R¹⁴'s can be the same or
different;~~

and when Q is a bond and L is



then Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are each independently selected from the group consisting of H, (C₁-C₆) alkyl, aryl and aryl substituted (C₁-C₆) alkyl;

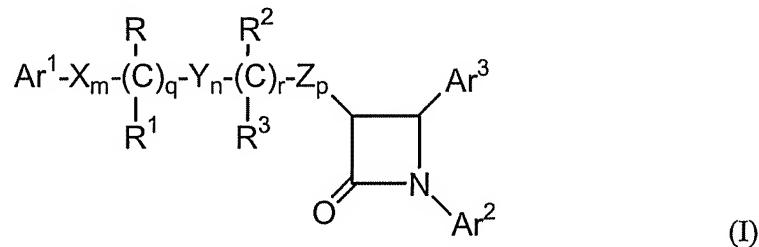
R²¹ is (C₁-C₆) alkyl, aryl or R²⁴ substituted aryl;

R²² is H, (C₁-C₆) alkyl, aryl (C₁-C₆) alkyl, C(O)R¹⁹ or COOR¹⁹;

R²³ and R²⁴ are each independently selected from the group consisting of 1-3
substituents which are each independently selected from the group consisting of H, (C₁-C₆) alkyl, (C₁-C₆) alkoxy, COOH, NO₂, NR¹⁹R²⁰, OH and halo; and

R^{25} is H, OH or (C₁-C₆)alkoxy.

2. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
wherein:

Ar^1 and Ar^2 are independently selected from the group consisting of aryl and R^4 - substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R^2 are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,

-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
-O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN,
-NO₂ and halogen;

R⁵ is 1-5 substituents independently selected from the group consisting of
-OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷,
-NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷,
-COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷,
-(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen,
lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

3-9. (Cancelled).

10. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

11. (Original) The method according to claim 1, further comprising the step of administering at least one antideemyelination agent to the subject.

12. (Original) The method according to claim 11, wherein the antideemyelination agent is selected from the group consisting of beta interferon, glatiramer acetate and corticosteroids.

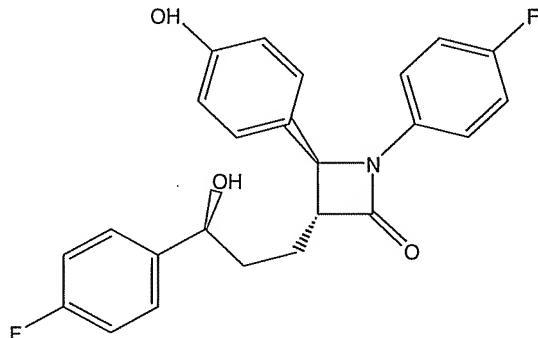
13. (Original) The method according to claim 1, further comprising the step of administering at least one HMG CoA reductase inhibitor to the subject.

14. (Original) The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

15. (Original) The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

16. (Original) The method according to claim 1, wherein the subject has multiple sclerosis.

17. (Currently Amended) A method of treating ~~or preventing~~ demyelination in a subject is provided, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:



(II)

or a pharmaceutically acceptable salt or solvate thereof.

18. (Currently Amended) A method of treating ~~or preventing~~ multiple sclerosis in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

19. (Cancelled).

20. (Cancelled).